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* * * * * Welcome to STN International * * * * *

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NEWS 7 OCT 21 BIOSIS file reloaded and enhanced
NEWS 8 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9 NOV 24 MSDS-CCOHS file reloaded
NEWS 10 DEC 08 CABA reloaded with left truncation
NEWS 11 DEC 08 IMS file names changed
NEWS 12 DEC 09 Experimental property data collected by CAS now available
in REGISTRY
NEWS 13 DEC 09 STN Entry Date available for display in REGISTRY and CA/CAPLUS
NEWS 14 DEC 17 DGENE: Two new display fields added
NEWS 15 DEC 18 BIOTECHNO no longer updated
NEWS 16 DEC 19 CROPU no longer updated; subscriber discount no longer
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NEWS 17 DEC 22 Additional INPI reactions and pre-1907 documents added to CAS
databases
NEWS 18 DEC 22 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19 DEC 22 ABI-INFORM now available on STN
NEWS 20 JAN 27 Source of Registration (SR) information in REGISTRY updated
and searchable
NEWS 21 JAN 27 A new search aid, the Company Name Thesaurus, available in
CA/CAPLUS
NEWS 22 FEB 05 German (DE) application and patent publication number format
changes
NEWS 23 MAR 03 MEDLINE and LMedline reloaded
NEWS 24 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 25 MAR 03 FRANCEPAT now available on STN

NEWS EXPRESS MARCH 5 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:29:57 ON 25 MAR 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:30:07 ON 25 MAR 2004

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STRUCTURE FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

DICTIONARY FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

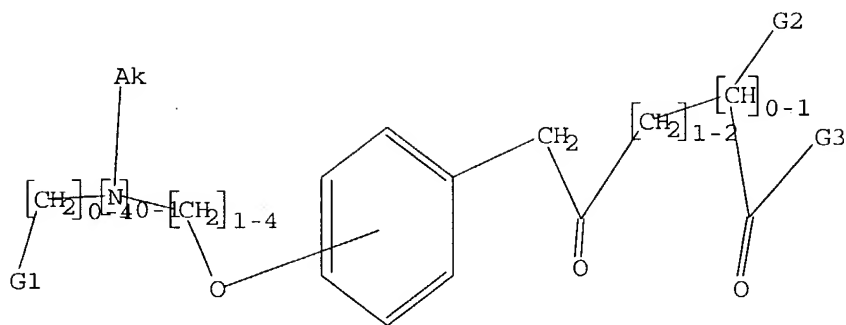
Uploading c:\program files\stnexp\queries\10684644.1

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Hy

G2 N,NH,NH2

G3 OH,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,s-BuO,t-BuO,NH,NH2

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 14:30:39 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 158438 TO ITERATE

100.0% PROCESSED 158438 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.06

L2

5 SEA SSS FUL L1

=> file cap[lus

'CAPOLUS' IS NOT A VALID FILE NAME

SESSION CONTINUES IN FILE 'REGISTRY'

Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files that are available. If you have requested multiple files, you can specify a corrected file name or you can enter "IGNORE" to continue accessing the remaining file names entered.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 14:30:57 ON 25 MAR 2004

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FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l2

L3 5 L2

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:656421 CAPLUS
DN 139:197489
TI Preparation of azolecarboxylic acids useful as antidiabetic and
antiobesity agents
IN Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan
PA USA
SO U.S. Pat. Appl. Publ., 81 pp., Cont.-in-part of U.S. Ser. No. 153,454.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003158232	A1	20030821	US 2002-294525	20021114
				US 2001-294380PP	20010530
				US 2002-153454 A2	20020522
	US 2003092736	A1	20030515	US 2002-153454	20020522
				US 2001-294380PP	20010530

PATENT FAMILY INFORMATION:

FAN 2002:927185

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002096358	A2	20021205	WO 2002-US16633	20020523
	WO 2002096358	A3	20030327		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-294380PP	20010530
EP 1390363	A2	20040225		EP 2002-729306	20020523
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2001-294380PP	20010530
				WO 2002-US16633W	20020523

OS MARPAT 139:197489

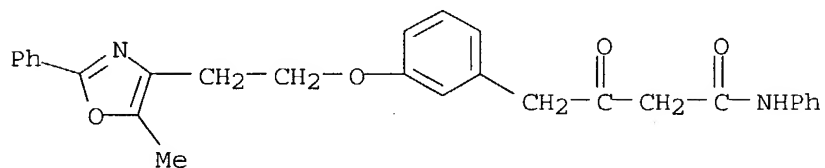
IT 477773-89-0P 477774-03-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

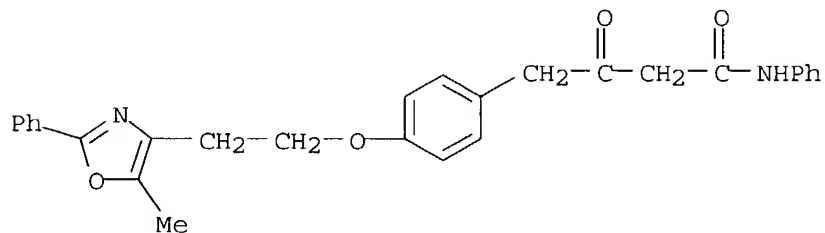
(Reactant or reagent)

(prepn of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

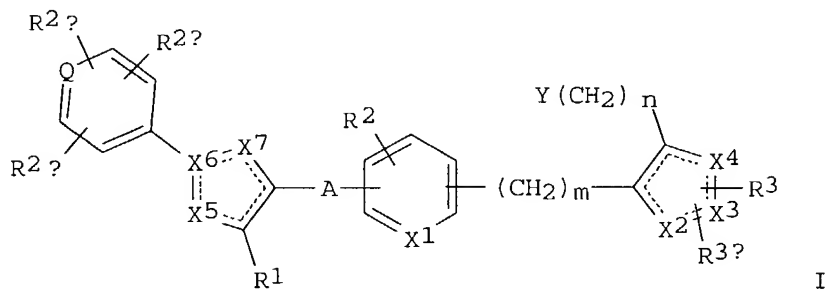
RN 477773-89-0 CAPLUS

CN Benzenebutanamide, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- β -oxo-N-phenyl- (9CI) (CA INDEX NAME)

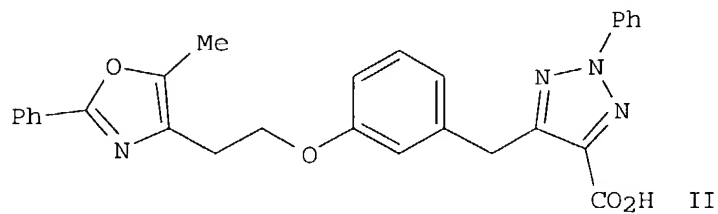
RN 477774-03-1 CAPLUS

CN Benzenebutanamide, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- β -oxo-N-phenyl- (9CI) (CA INDEX NAME)

GI



I



II

AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH₂)_x, (CH₂)_{x1}, (CH₂)_{x20}(CH₂)_{x3}; x = 1-5; x₁ = 2-5; x₂, x₃ = 0-5; ≥1 of x₂, x₃ ≠ 0; X₁ = CH, N; X₂, X₃, X₄, X₅, X₇ = C, N, O, S; in each of X₁-X₇, C may include CH; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, (substituted) amino; R_{2a}, R_{2b} and R_{2c} = H, alkyl, alkoxy, halo, (substituted) amino; R₃, R_{3a} = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, etc.; Y = CO₂R₄, 1-tetrazolyl, P(O)(OR_{4a})R₅, P(O)(OR_{4a})₂; R₄ = H, alkyl, prodrug ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor-γ (PPAR_γ) and stimulators of peroxisome proliferator activated receptor-α (PPAR_α). Thus, title compound (II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPAR_α and to PPAR_γ ligand binding domains with IC₅₀ = 69 nM.

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:610450 CAPLUS

DN 139:164813

TI Preparation of imidazo[1,2-a]pyridine derivatives as antifungal agents

IN Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiko; Takeshita, Hiroshi; Kimura, Youichi; Watanabe, Jun; Sugimoto, Yuichi; Kitamura, Akihiro; Nakajima, Ryohei; Kanai, Kazuo; Fujisawa, Tetsunori

PA Daiichi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 309 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003064422	A1	20030807	WO 2003-JP912	20030130
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

JP 2002-22767 A 20020131

OS MARPAT 139:164813

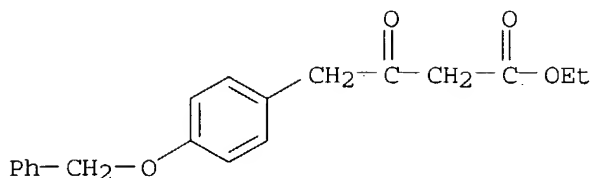
IT **577776-39-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

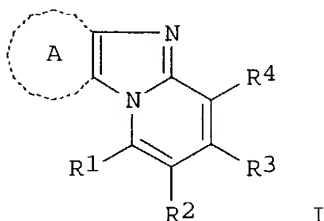
(preparation of imidazo[1,2-a]pyridine derivs. as antifungal agents with specific or selective 1,6-β-glucan)

RN 577776-39-7 CAPLUS

CN Benzenebutanoic acid, β-oxo-4-(phenylmethoxy)-, ethyl ester (9CI)
(CA INDEX NAME)



GI



I

AB The title compds. (I), salts thereof, or solvates of either [wherein the ring A = (un)substituted benzene ring or 5- or 6-membered heteroaryl containing 1-3 heteroatoms selected from N, O, and S; R1 = H, halo, each (un)protected NH2, HO, or SH, NO2, cyano, CHO, CO2H, each (un)substituted CONH2, NH2, C1-10 alkyl, C1-10 alkylamino, C1-10 alkoxy, C1-10 alkylthio, C2-6 acyl, C2-7 alkoxy carbonyl, C3-10 cycloalkyl, C3-10 cycloalkylamino, C3-10 cycloalkyloxy, C3-10 cycloalkylthio, C4-10 cycloalkenyl, C4-10 cycloalkenylamino, C4-10 cycloalkenyloxy, C4-10 cycloalkenylthio, C6-10 aryl, C6-10 arylamino, or C6-10 aryloxy, etc.; R2 = H, halo, (un)protected NH2 or OH, NO2, cyano, CO2H, each (un)substituted CONH2, C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, C1-20 alkylamino, C1-20 alkoxy, C2-18 acyl, C2-18 alkoxy carbonyl, C3-10 cycloalkyl, C5-10 cycloalkenyl, C3-10 cycloalkylamino, or C4-16 cycloalkylalkyl, etc.; R3 = H, halo, (un)protected NH2, OH, or SH, NO2, cyano, CHO, CO2H, each (un)substituted CONH2, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C1-6 alkylthio, C2-5 acyl, or C2-5 alkoxy carbonyl, etc.; R4 = H, halo, (un)protected NH2 or OH, NO2, cyano, CO2H, SO3H, each (un)substituted CONH2, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C2-5 acyl, C2-5 alkoxy carbonyl, C1-6 alkylcarbonyloxy, or C1-6 alkyloxysulfonyl, etc.] are prepared. These compds. have a wide spectrum of antifungal activity by a novel mechanism, i.e., specific or selective 1,6- β -glucan synthesis inhibition. Thus, 1-chloro-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile, (3S)-dimethylaminopyrrolidine, Et3N, and DMF were heated at 80° for 14 h in a sealed vessel to give 61% 1-[(3S)-dimethylpyrrolidin-1-yl]-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile formate (II). II showed min. inhibitory concentration of <0.063, <0.063, and 0.5 μ g/mL against *Saccharomyces cerevisiae*, *Candida glabrata*, and *C. krusei*, resp. Pharmaceutical formulations, e.g. a capsule containing 1-[2-(diethylamino)ethylamino]-2-ethyl-3-methylpyrido[1,2-a]benzimidazole-4-carbonitrile, were described.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964135 CAPLUS

DN 138:24543
 TI Preparation of benzyloxyphenyloxobutyrate and related compounds for the treatment of metabolic disorders
 IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.
 PA Wellstat Therapeutics Corporation, USA
 SO PCT Int. Appl., 242 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100341	A2	20021219	WO 2002-US18388	20020612
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003149107	A1	20030807	US 2001-297282PP	20010612
				US 2002-167839	20020612
				US 2001-297282PP	20010612

OS MARPAT 138:24543

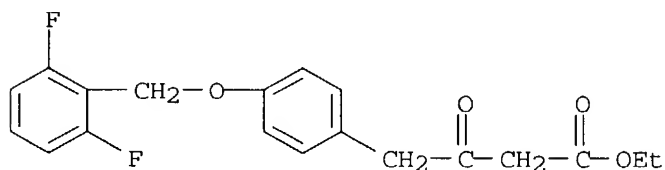
IT **478162-71-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

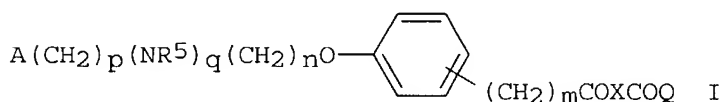
(preparation of benzyloxyphenyloxobutyrate and related compds. for treatment of metabolic disorders)

RN 478162-71-9 CAPLUS

CN Benzenebutanoic acid, 4-[(2,6-difluorophenyl)methoxy]- β -oxo-, ethyl ester (9CI) (CA INDEX NAME)



GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-,

perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH₂, Q = OR₁, R₁ = Et; or X = CH₂CR₁₂R₁₃, CH₂CH(NHAc), Q = OR₁, R₁ = H, alkyl; or X = CH₂CH₂, Q = NR₁₀R₁₁; R₁₂, R₁₃ = H, Me; 1 of R₁₀, R₁₁ = H, alkyl, OH, the other = H, alkyl], were prepared. Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF₃CO₂H in CH₂Cl₂ to give 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:927185 CAPLUS

DN 138:24716

TI Preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents

IN Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002096358	A2	20021205	WO 2002-US16633	20020523
	WO 2002096358	A3	20030327		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2001-294380PP	20010530
EP	1390363	A2	20040225	EP 2002-729306	20020523
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				US 2001-294380PP	20010530
				WO 2002-US16633W	20020523

PATENT FAMILY INFORMATION:

FAN 2003:656421

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003158232	A1	20030821	US 2002-294525	20021114
				US 2001-294380PP	20010530
				US 2002-153454 A2	20020522
	US 2003092736	A1	20030515	US 2002-153454	20020522
				US 2001-294380PP	20010530

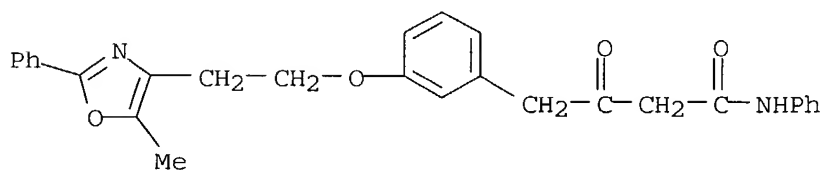
OS MARPAT 138:24716

IT 477773-89-0P 477774-03-1P

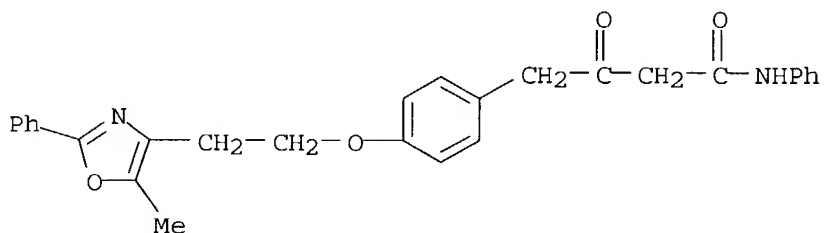
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

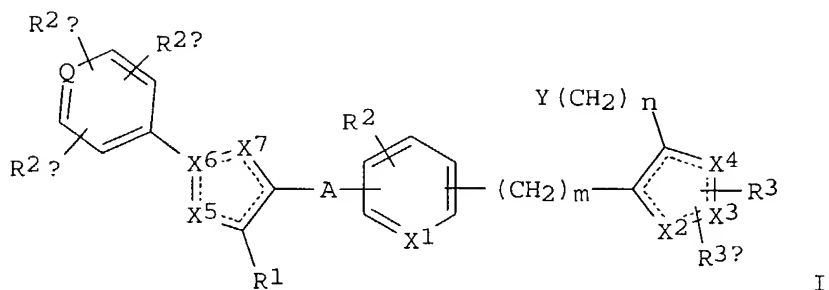
RN 477773-89-0 CAPLUS

CN Benzenebutanamide, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- β -oxo-N-phenyl- (9CI) (CA INDEX NAME)

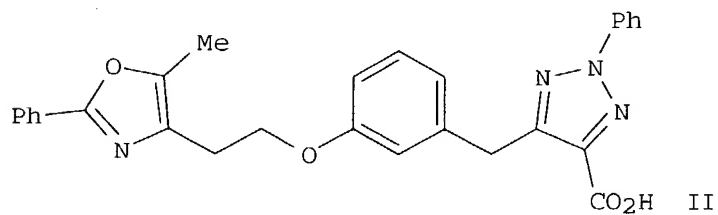
RN 477774-03-1 CAPLUS

CN Benzenebutanamide, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- β -oxo-N-phenyl- (9CI) (CA INDEX NAME)

GI



I



II

AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH₂)_x, (CH₂)_{x1}, (CH₂)_{x2}O(CH₂)_{x3}; x = 1-5; x1 = 2-5; x2, x3 = 0-5; ≥1 of x2, x3 ≠ 0; X1 = CH, N; X2, X3, X4, X5, X7 = C, N, O, S; in each of X1-X7, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b and R2c = H, alkyl, alkoxy, halo, (substituted) amino; R3, R3a = H, alkyl, arylalkyl, aryloxy, carbonyl, alkyloxy, carbonyl, alkynyloxy, carbonyl, alkenyloxy, carbonyl, aryl, carbonyl, alkyl, carbonyl, aryl, heteroaryl, alkyl(halo)aryloxy, carbonyl, alkoxy(halo)aryloxy, carbonyl, cycloalkylaryloxy, carbonyl, cycloalkyloxyaryloxy, carbonyl, cycloheteroalkyl, heteroaryl, carbonyl, heteroaryl, heteroarylalkyl, alkyl, carbonyl, amino, aryl, carbonyl, amino, heteroaryl, carbonyl, amino, alkoxy, carbonyl, amino, aryloxy, carbonyl, amino, heteroaryl, heteroaryl, carbonyl, alkyl, sulfonyl, alkenyl, sulfonyl, heteroaryl, aryloxy, carbonyl, cycloheteroalkyloxy, carbonyl, heteroaryl, alkyl, aminocarbonyl, substituted aminocarbonyl, alkyl, aminocarbonyl, aryl, aminocarbonyl, aryloxy, arylalkyl, alkynyloxy, carbonyl, haloalkoxy, aryloxy, carbonyl, alkoxy, carbonyl, aryloxy, carbonyl, aryloxy, carbonyl, aryl, sulfinyl, aryl, carbonyl, etc.; Y = CO₂R₄, 1-tetrazolyl, P(O)(OR_{4a})R₅, P(O)(OR_{4a})₂; R₄ = H, alkyl, prodrug ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor-γ (PPARγ) and stimulators of peroxisome proliferator activated receptor-α (PPARα). Thus, title compound (II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPARα and to PPARγ ligand binding domains with IC₅₀ = 69 nM.

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:22115 CAPLUS

DN 108:22115

TI Conformational effects on the oxidative coupling of benzyltetrahydroisoquinolines to morphinan and aporphine alkaloids

AU Burnett, Duane A.; Hart, David J.

CS Dep. Chem., Ohio State Univ., Columbus, OH, 43210, USA

SO Journal of Organic Chemistry (1987), 52(26), 5662-7

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 108:22115

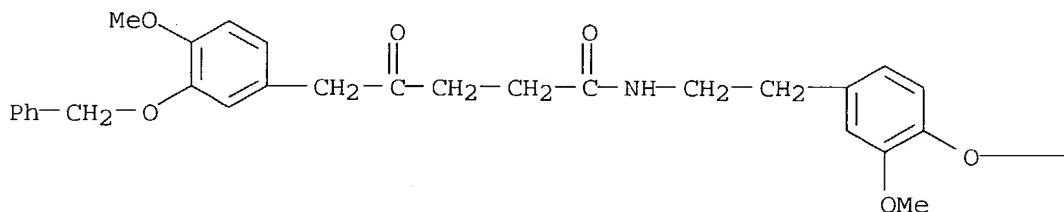
IT 110698-50-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 110698-50-5 CAPLUS

CN Benzenepentanamide, 4-methoxy-N-[2-[3-methoxy-4-(phenylmethoxy)phenyl]ethyl]-γ-oxo-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

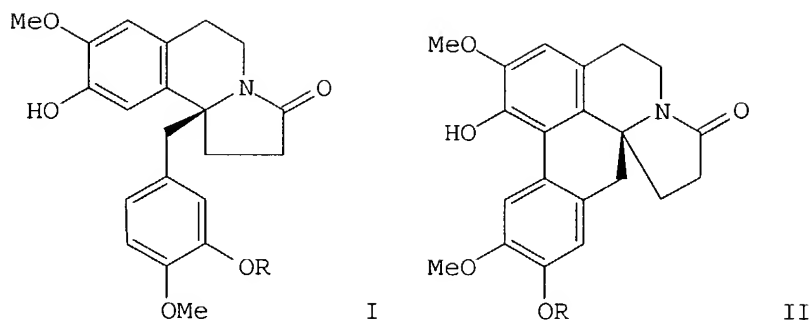
PAGE 1-A



PAGE 1-B

—CH₂—Ph

GI



AB Conformationally rigid 1-benzyltetrahydroisoquinolines I (R = H, Me) were prepared. Oxidation of I (R = H) with vanadium oxychloride or thallium(III) trifluoroacetate gave structure II related to aporphine alkaloids as did oxidation of I (R = Me) with vanadium oxyfluoride. Oxidation of I (R = H) with (diacetoxyiodo)benzene gave a mixture of structures related to aporphine and morphinan alkaloids.

=> file marpat
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
37.26	192.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE ENTRY	TOTAL SESSION
-3.47	-3.47

FILE 'MARPAT' ENTERED AT 14:32:23 ON 25 MAR 2004
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 12) (20040319/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6696581 24 FEB 2004
DE 10317487 19 FEB 2004

Patel

<3/24/2004>

EP 1389746 18 FEB 2004
JP 2004059557 26 FEB 2004
WO 2004015164 19 FEB 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

FULL SEARCH INITIATED 14:32:30 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 13114 TO ITERATE

83.1% PROCESSED	10894 ITERATIONS	(1 INCOMPLETE)	6 ANSWERS
100.0% PROCESSED	13114 ITERATIONS	(1 INCOMPLETE)	6 ANSWERS
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L4 6 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	109.42	302.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-3.47

FILE 'CAPLUS' ENTERED AT 14:33:16 ON 25 MAR 2004

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FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13

FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l4

L5 6 L4

=> d l5 fbib hitstr abs total

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:334658 CAPLUS
DN 138:368896

TI Biologically active 4H-benzo[1,4]oxazin-3-ones useful as PPAR γ
agonists or antagonists
IN Burris, Thomas P.; Combs, Donald W.; Rybczynski, Philip J.; Dudash, Joseph
PA USA
SO U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U. S. Ser. No. 854,302.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003083329	A1	20030501	US 2001-990461	20011121
				US 2000-203860PP	20000512
				US 2001-854302 A2	20010511
	US 2002165228	A1	20021107	US 2001-854302	20010511
	US 6555536	B2	20030429		
				US 2000-203860PP	20000512
	EP 1314729	A1	20030528	EP 2002-258024	20021121
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				US 2001-990461 A	20011121

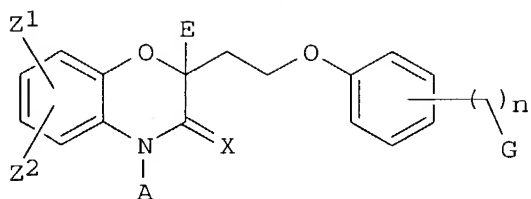
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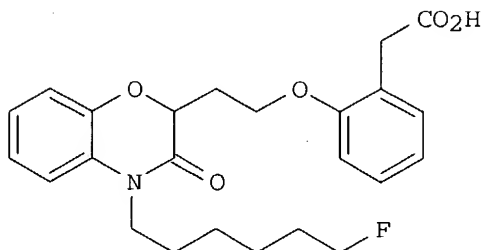
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	SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,				
	ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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				US 2001-854302 A	20010511
	US 2002165228	A1	20021107	US 2001-854302	20010511
	US 6555536	B2	20030429		
				US 2000-203860PP	20000512
	EP 1280784	A2	20030205	EP 2001-937335	20010511
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2000-203860PP	20000512
				US 2001-854302 A	20010511
				WO 2001-US15383W	20010511

OS MARPAT 138:368896

GI



I



II

AB The invention is directed to 4H-benzo[1,4]oxazin-3-ones I and their stereoisomers, esters, salts, and prodrugs, useful as peroxisome proliferator activated receptor gamma (PPAR γ) agonists or antagonists [wherein: A = (un)substituted aryl, heterocyclyl, or alkyl; Z1 = H, alkyl, aryl, heterocyclyl, OH or derivs., CO₂H or derivs., NH₂ or derivs., halo, etc.; Z2 = H, halo, alkyl; or Z1Z2 = atoms to form fused aromatic ring; n = 0-3; G = CO₂R₁, COCO₂R₁, CONR₁R₂, CF₃, P(O)(OR₁)(OR₂), SH, tetrazolyl, certain heterocycles, etc.; E = H, alkyl, -CH₂CH₂OC₆H₄(CH₂)_nG; X = H₂, O; R₁, R₂ = H, alkyl, aryl, heterocyclyl, aralkyl; or R₁R₂ = atoms to form 5- to 10-membered ring; with addnl. provisos]. Pharmaceutical compns. comprising the compds. and methods of treating conditions such as NIDDM and obesity are also disclosed. Over 130 specific compds. are listed, and 5 of the preferred compds. are claimed. For instance, the silyl-protected intermediate 2-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2H-1,4-benzoxazin-3(4H)-one (preparation given) underwent a sequence of N-alkylation with Br(CH₂)₆F, desilylation, Mitsunobu reaction with Me (2-hydroxyphenyl)acetate, and alkaline saponification, to give the preferred compound

II. In an agonist intrinsic activity assay for induction of α P2 mRNA production, II gave a 64.9-fold increase over control.

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN. 2002:964135 CAPLUS

DN 138:24543

TI Preparation of benzyloxyphenyloxobutyrate and related compounds for the treatment of metabolic disorders

IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.

PA Wellstat Therapeutics Corporation, USA

SO PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100341	A2	20021219	WO 2002-US18388	20020612
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
 TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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US 2001-297282PP 20010612

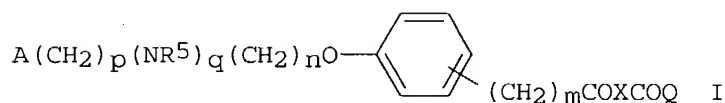
US 2003149107 A1 20030807

US 2002-167839 20020612

US 2001-297282PP 20010612

OS MARPAT 138:24543

GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R⁵ = alkyl; R⁹ = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH₂, Q = OR₁, R₁ = Et; or X = CH₂CR₁₂R₁₃, CH₂CH(NHAc), Q = OR₁, R₁ = H, alkyl; or X = CH₂CH₂, Q = NR₁₀R₁₁; R₁₂, R₁₃ = H, Me; 1 of R₁₀, R₁₁ = H, alkyl, OH, the other = H, alkyl], were prepared. Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF₃CO₂H in CH₂Cl₂ to give 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:123000 CAPLUS

DN 136:183709

TI Novel 1,4-dihydropyridines as bradykinin antagonists

IN Ikeda, Takafumi; Kato, Tomoki; Katsu, Yasuhiro; Kawai, Makoto; Kawamura, Mitsuhiro; Shishido, Yuji; Murase, Noriaki

PA Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SO PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 2002012235	A1	20020214	WO 2001-IB1346	20010726
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2000-224558PP 20000810
US 2002161006 A1 20021031 US 2001-903157 20010711
US 6653313 B2 20031125
US 2000-224558PP 20000810
AU 2001070947 A5 20020218 AU 2001-70947 20010726
US 2000-224558PP 20000810
WO 2001-IB1346 W 20010726
EP 1307449 A1 20030507 EP 2001-949836 20010726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2000-224558PP 20000810
WO 2001-IB1346 W 20010726
BR 2001013071 A 20030701 BR 2001-13071 20010726
US 2000-224558PP 20000810
WO 2001-IB1346 W 20010726
JP 2004505973 T2 20040226 JP 2002-518210 20010726
US 2000-224558PP 20000810
WO 2001-IB1346 W 20010726

OS MARPAT 136:183709
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [wherein each A is independently halo; X = -(CH₂)_m-,
-C(O)- or S(O)-; R₁ and R₂ are independently C₁-4 alkyl; R₃ is substituted
azacycloalkyl etc.; R₄ = ortho substituted Ph with substituents selected
from substituted C₁-7 alkyl, substituted C₁-7 alkyl, substituted C₁-7
alkoxy, amine, etc; R₅ = hydrogen or C₁-4 alkyl; m = 0, 1 or 2; and n = 0,
1, 2, 3, 4 or 5] are prepared and disclosed as bradykinin antagonists.
Thus, II was prepared in seven steps via a modified Hantzsch synthesis
involving the cyclocondensation of an intermediate benzylidene with an
enamine to create the 1,4-dihydropyridine structural unit. The biol.
activity of I was determined by their ability to inhibit the binding of
bradykinin at its receptor sites in recombinant human bradykinin B₂
receptor expressing CHO-K1 cells (IC₅₀ values for prepared compds. ranged
from 0.1 nM to 21 nM). The present invention also relates to
pharmaceutical compns. containing such compds. and to the use of such compds.
in the treatment and prevention of inflammation, asthma, allergic
rhinitis, pain and other disorders.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:851139 CAPLUS
DN 136:5997
TI Biologically active 4H-benzo[1,4]oxazin-3-ones useful as PPAR γ
agonists or antagonists
IN Burris, Thomas P.; Combs, Donald W.; Rybczynski, Philip J.
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DT Patent
 LA English
 FAN.CNT 2

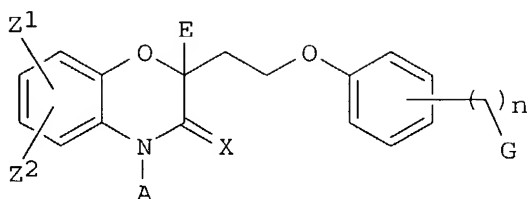
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	WO 2001087862	A3	20020530		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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	US 6555536	B2	20030429		
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PATENT FAMILY INFORMATION:

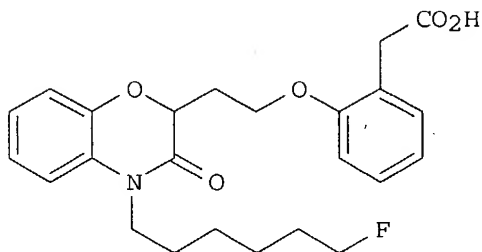
FAN 2003:334658

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				US 2001-990461 A	20011121

OS MARPAT 136:5997
 GI



I



II

AB The invention is directed to 4H-benzo[1,4]oxazin-3-ones I and their stereoisomers, esters, salts, and prodrugs, useful as peroxisome proliferator activated receptor gamma (PPAR γ) agonists or antagonists [wherein: A = (un)substituted aryl, heterocyclyl, or alkyl; Z1 = H, alkyl, aryl, heterocyclyl, OH or derivs., CO₂H or derivs., NH₂ or derivs., halo, etc.; Z2 = H, halo, alkyl; or Z1Z2 = atoms to form fused aromatic ring; n = 0-3; G = CO₂R1, COCO₂R1, CONR1R2, CF₃, P(O)(OR1)(OR2), SH, tetrazolyl, certain heterocycles, etc.; E = H, alkyl, -CH₂CH₂OC₆H₄(CH₂)_nG; X = H₂, O; R1, R2 = H, alkyl, aryl, heterocyclyl, aralkyl; or R1R2 = atoms to form 5- to 10-membered ring; with addnl. provisos]. Pharmaceutical compns. comprising the compds. and methods of treating conditions such as NIDDM and obesity are also disclosed. Over 130 specific compds. are listed, and 5 of the preferred compds. are claimed. For instance, the silyl-protected intermediate 2-[2-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2H-1,4-benzoxazin-3(4H)-one (preparation given) underwent a sequence of N-alkylation with Br(CH₂)₆F, desilylation, Mitsunobu reaction with Me (2-hydroxyphenyl)acetate, and alkaline saponification, to give the preferred compound

II. In an agonist intrinsic activity assay for induction of α P2 mRNA production, II gave a 64.9-fold increase over control.

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:298104 CAPLUS

DN 128:321640

TI Preparation of 3-benzylpyrazoles as herbicides, plant desiccants, and defoliants.

IN Zagar, Cyrill; Hamprecht, Gerhard; Menges, Markus; Menke, Olaf; Schaefer, Peter; Westphalen, Karl-Otto; Misslitz, Ulf; Walter, Helmut

PA BASF A.-G., Germany

SO Ger. Offen., 40 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

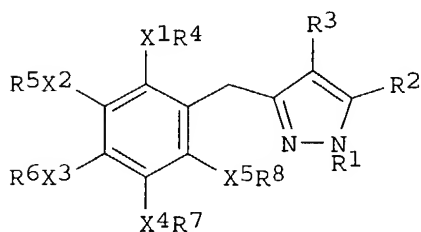
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PI	DE 19645313	A1	19980507	DE 1996-19645313	19961104
	WO 9820000	A2	19980514	WO 1997-EP6057	19971103
	WO 9820000	A3	19981029		

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KZ, MD, RU, TJ, TM

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9870017	A1	19980529	DE 1996-19645313A 19961104
			AU 1998-70017 19971103
			DE 1996-19645313A 19961104
			WO 1997-EP6057 W 19971103
EP 937046	A2	19990825	EP 1997-948864 19971103
R: CH, DE, FR, GB, LI			
			DE 1996-19645313A 19961104
			WO 1997-EP6057 W 19971103
JP 2001503421	T2	20010313	JP 1998-521039 19971103
			DE 1996-19645313A 19961104
			WO 1997-EP6057 W 19971103
US 6451734	B1	20020917	US 1999-297529 19990503
			DE 1996-19645313A 19961104
			WO 1997-EP6057 W 19971103

OS MARPAT 128:321640
GI



AB Title compds. [I; R1 = alkyl, haloalkyl, alkylsulfonyl, haloalkylsulfonyl; R2 = alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl; R3 = H, cyano, NO2, halo, alkyl, haloalkyl; X1-X5 = bond, (substituted) CH2, CH2CH2, CH:CH, OCH2, SCH2; R4-R8 = H, NO2, cyano, halo, etc.], were prepared Thus, 3-(2,3-dichlorobenzyl)-5-difluoromethoxy-1-methyl-1H-pyrazole (preparation given) was stirred with SO2Cl2 in CCl4 to give 4-chloro-3-(2,3-dichlorobenzyl)-5-difluoromethoxy-1-methyl-1H-pyrazole. The latter at 0.125 kg/ha gave very good postemergent herbicidal activity.

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:509397 CAPLUS

DN 121:109397

TI Preparation of ester derivatives of 4-azasteroids as steroid 5 α -reductase inhibitors.

IN Witzel, Bruce E.; Rasmusson, Gary H.; Tolman, Richard L.; Yang, Shu Shu

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9323041	A1	19931125	WO 1993-US4771	19930519

W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO,
 NZ, PL, RO, RU, SD, SK, UA, US
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
 BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9342525 A1 19931213 US 1992-886022 A219920520
 AU 668181 B2 19960426 AU 1993-42525 19930519

US 1992-886022 A 19920520
 WO 1993-US4771 A 19930519
 EP 649306 A1 19950426 EP 1993-911362 19930519
 EP 649306 B1 20010110

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
 US 1992-886022 A 19920520
 WO 1993-US4771 W 19930519
 JP 07508039 T2 19950907 JP 1993-503838 19930519

US 1992-886022 A 19920520
 WO 1993-US4771 W 19930519
 AT 198601 E 20010115 AT 1993-911362 19930519

US 1992-886022 A 19920520
 WO 1993-US4771 W 19930519
 US 5610162 A 19970311 US 1994-338573 19941117

US 1992-886022 B219920520
 WO 1993-US4771 W 19930519

PATENT FAMILY INFORMATION:

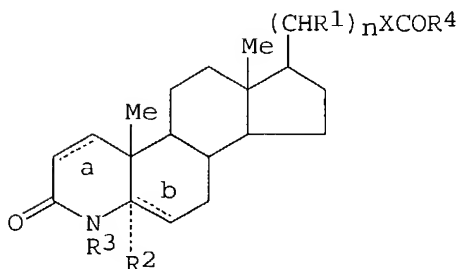
FAN 1997:204394

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5610162	A	19970311	US 1994-338573	19941117
			US 1992-886022 B219920520	
			WO 1993-US4771 W 19930519	
WO 9323041	A1	19931125	WO 1993-US4771	19930519

W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO,
 NZ, PL, RO, RU, SD, SK, UA, US
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
 BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 1992-886022 A219920520

OS MARPAT 121:109397
 GI



AB Title compds. [I; a, b = single bonds, R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, alkyl, aralkyl; R3 = H, Me, Et, OH, NH2, SME; n = 0-10; X = O, S; R4 = (substituted) alkyl, aryl,

heterocyclyl, cycloalkyl, amino, OH, etc.] were prepared as inhibitors of 5 α -reductase and isoenzymes thereof. The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp (no data). Thus, 20-hydroxy-4-methyl-5 α -4-azapregnan-3-one, 11-ethylthioundecanoic acid, DMAP, and DCC were stirred in CH₂Cl₂ at room temperature to give 20-[11-(ethylthio)undecanoyloxy]-4-methyl-5 α -4-azapregnan-3-one.

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
34.58	336.89

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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NEWS 8 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9 NOV 24 MSDS-CCOHS file reloaded
NEWS 10 DEC 08 CABA reloaded with left truncation
NEWS 11 DEC 08 IMS file names changed
NEWS 12 DEC 09 Experimental property data collected by CAS now available
in REGISTRY
NEWS 13 DEC 09 STN Entry Date available for display in REGISTRY and CA/CAPLUS
NEWS 14 DEC 17 DGENE: Two new display fields added
NEWS 15 DEC 18 BIOTECHNO no longer updated
NEWS 16 DEC 19 CROPU no longer updated; subscriber discount no longer
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NEWS 17 DEC 22 Additional INPI reactions and pre-1907 documents added to CAS
databases
NEWS 18 DEC 22 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19 DEC 22 ABI-INFORM now available on STN
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and searchable
NEWS 21 JAN 27 A new search aid, the Company Name Thesaurus, available in
CA/CAPLUS
NEWS 22 FEB 05 German (DE) application and patent publication number format
changes
NEWS 23 MAR 03 MEDLINE and LMEDLINE reloaded
NEWS 24 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 25 MAR 03 FRANCEPAT now available on STN

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MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
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NEWS LOGIN Direct Dial and Telecommunication Network Access to STN
NEWS PHONE CAS World Wide Web Site (general information)
NEWS WWW

Enter NEWS followed by the item number or name to see news on that
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=> file reg

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TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

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DICTIONARY FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

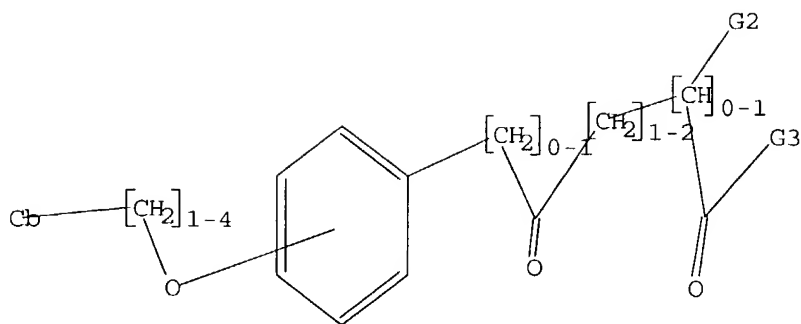
Uploading c:\program files\stnexp\queries\10684644.2

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1

G2 N, NH, NH2

G3 OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO, NH, NH2

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 14:37:11 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 7.5% PROCESSED	312283 ITERATIONS	5 ANSWERS
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< 8.8% PROCESSED	367557 ITERATIONS	5 ANSWERS
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< 9.6% PROCESSED	400000 ITERATIONS	5 ANSWERS
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INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.42

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**

PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 30

L2 5 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST	156.26	156.47

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FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13

FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 3 L2

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:610450 CAPLUS

DN 139:164813

TI Preparation of imidazo[1,2-a]pyridine derivatives as antifungal agents

IN Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiko; Takeshita, Hiroshi; Kimura, Youichi; Watanabe, Jun; Sugimoto, Yuichi; Kitamura, Akihiro; Nakajima, Ryohei; Kanai, Kazuo; Fujisawa, Tetsunori

PA Daiichi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 309 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064422	A1	20030807	WO 2003-JP912	20030130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

JP 2002-22767 A 20020131

OS MARPAT 139:164813

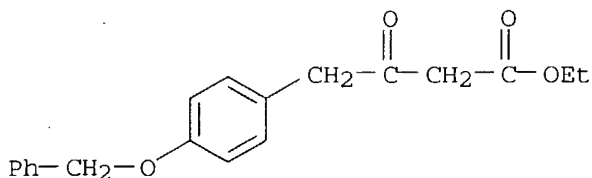
IT 577776-39-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

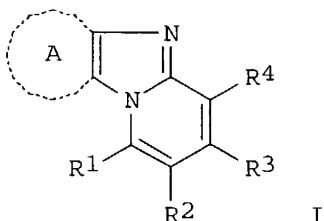
(preparation of imidazo[1,2-a]pyridine derivs. as antifungal agents with specific or selective 1,6- β -glucan)

RN 577776-39-7 CAPLUS

CN Benzenebutanoic acid, β -oxo-4-(phenylmethoxy)-, ethyl ester (9CI)
(CA INDEX NAME)



GI



I

AB The title compds. (I), salts thereof, or solvates of either [wherein the ring A = (un)substituted benzene ring or 5- or 6-membered heteroaryl containing 1-3 heteroatoms selected from N, O, and S; R1 = H, halo, each (un)protected NH2, HO, or SH, NO2, cyano, CHO, CO2H, each (un)substituted CONH2, NH2, C1-10 alkyl, C1-10 alkylamino, C1-10 alkoxy, C1-10 alkylthio, C2-6 acyl, C2-7 alkoxy carbonyl, C3-10 cycloalkyl, C3-10 cycloalkylamino, C3-10 cycloalkyloxy, C3-10 cycloalkylthio, C4-10 cycloalkenyl, C4-10 cycloalkenylamino, C4-10 cycloalkenyloxy, C4-10 cycloalkenylthio, C6-10 aryl, C6-10 arylamino, or C6-10 aryloxy, etc.; R2 = H, halo, (un)protected NH2 or OH, NO2, cyano, CO2H, each (un)substituted CONH2, C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, C1-20 alkylamino, C1-20 alkoxy, C2-18 acyl, C2-18 alkoxy carbonyl, C3-10 cycloalkyl, C5-10 cycloalkenyl, C3-10 cycloalkylamino, or C4-16 cycloalkylalkyl, etc.; R3 = H, halo, (un)protected NH2, OH, or SH, NO2, cyano, CHO, CO2H, each (un)substituted CONH2, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C1-6 alkylthio, C2-5 acyl, or C2-5 alkoxy carbonyl, etc.; R4 = H, halo, (un)protected NH2 or OH, NO2, cyano, CO2H, SO3H, each (un)substituted CONH2, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C2-5 acyl, C2-5 alkoxy carbonyl, C1-6 alkylcarbonyloxy, or C1-6 alkyloxysulfonyl, etc.] are prepared. These compds. have a wide spectrum of antifungal activity by a novel mechanism, i.e., specific or selective 1,6- β -glucan synthesis inhibition. Thus, 1-chloro-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile, (3S)-dimethylaminopyrrolidine, Et3N, and DMF were heated at 80° for 14 h in a sealed vessel to give 61% 1-[(3S)-dimethylpyrrolidin-1-yl]-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile formate (II). II showed min. inhibitory concentration of <0.063, <0.063, and 0.5 μ g/mL against *Saccharomyces cerevisiae*, *Candida glabrata*, and *C. krusei*, resp. Pharmaceutical formulations, e.g. a capsule containing 1-[2-(diethylamino)ethylamino]-2-ethyl-3-methylpyrido[1,2-a]benzimidazole-4-carbonitrile, were described.

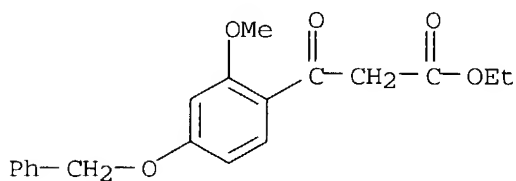
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:417725 CAPLUS

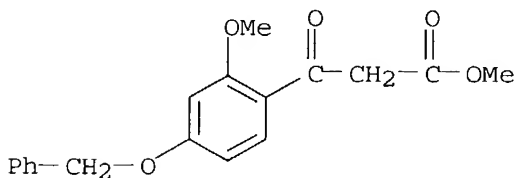
DN 139:6773
 TI Preparation of 4-oxoquinoline derivatives as ileal bile acid transporter inhibitors
 IN Kurata, Hitoshi; Hasegawa, Tohru; Ikeda, Takuya; Kono, Keita
 PA Sankyo Company, Limited, Japan
 SO PCT Int. Appl., 523 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003043992	A1	20030530	WO 2002-JP12077	20021119
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	JP 2003212853	A2	20030730	JP 2002-333314	20021118
				JP 2001-353064 A	20011119

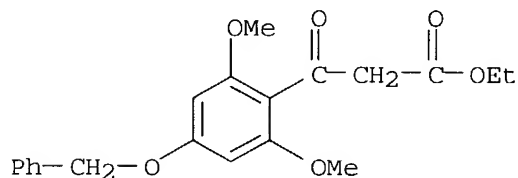
OS MARPAT 139:6773
 IT **535969-65-4P 535969-97-2P 535970-53-7P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 4-oxoquinoline derivs. as ileal bile acid transporter inhibitors)
 RN 535969-65-4 CAPLUS
 CN Benzenepropanoic acid, 2-methoxy- β -oxo-4-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



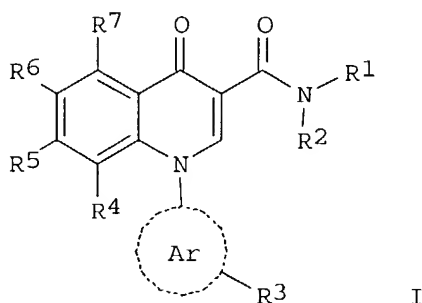
RN 535969-97-2 CAPLUS
 CN Benzenepropanoic acid, 2-methoxy- β -oxo-4-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 535970-53-7 CAPLUS
 CN Benzenepropanoic acid, 2,6-dimethoxy- β -oxo-4-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



GI



AB The title compds., e.g. I [R1 is aryl or the like; R2 is lower alkyl or the like; R3 is ADEGn+ (X-)n (wherein A is oxygen or the like; D is C1-12 alkylene or the like; E is a single bond or the like; Gn+ is substituted ammonio or the like; X- is an anion; and n is an integer of 1 or 2); R4, R6 and R7 are each hydrogen or the like; R5 is hydrogen or the like; and Ar is aryl or the like], are prepared. In an in vitro test, compds. of this invention at 30 μ g/mL gave 83.1% to 100% ileal bile acid transporter inhibition. A formulation is given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:389980 CAPLUS

DN 138:401612

TI Preparation of carbostyryl derivatives and their use as oxytocin antagonists and therapeutics for treatment of premature delivery, miscarriage, dysmenorrhea, and galactorrhea

IN Shiraiwa, Masafumi; Ota, Shuji; Takefuchi, Ken; Uchida, Hiroshi; Saegusa, Mamoru; Mitsubori, Tomohiro; Yoshizawa, Masayuki

PA Teikoku Hormone Mfg. Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 142 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003146972	A2	20030521	JP 2001-348850	20011114

JP 2001-348850 20011114

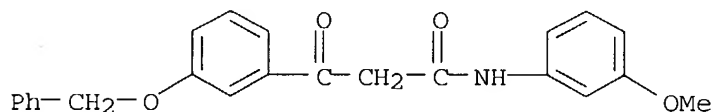
OS MARPAT 138:401612

IT **528831-08-5P**

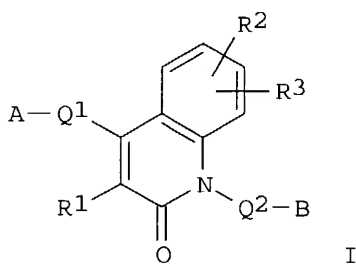
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carbostyryl derivs. as oxytocin antagonists)

RN 528831-08-5 CAPLUS

CN Benzenepropanamide, N-(3-methoxyphenyl)- β -oxo-3-(phenylmethoxy)-(9CI) (CA INDEX NAME)

GI



AB Title derivs. I [Q1 = bond, CH₂, CH₂CH₂, vinyl, CHMe, etc.; A = lower alkyl, (un)substituted cycloalkyl (condensed with hydrocarbyl ring), (un)substituted aryl, (un)substituted heterocyclyl (condensed with hydrocarbyl ring); R1 = H, lower alkyl; R2, R3 = H, (un)substituted lower alkyl(oxy), aralkyloxy, piperidinyl, etc.; R2R3 may be linked to form lower alkylenedioxy; Q2 = bond, CH₂, CH₂CH₂, etc.; B = CO₂H, lower alkoxy carbonyl, (un)substituted 2-pyridinyl, (un)substituted Ph, (un)substituted cyclohexyl, etc.] or their salts are claimed. The derivs. are also useful for termination of delivery prior to Caesarean section. Thus, 4-(2,3-dimethoxyphenyl)-7-methoxy-2-oxoquinoline was treated with Me 4-bromomethylbenzoate to give 56% I (AQ1 = 2,3-dimethoxyphenyl, R1-R3 = H, Q2B = 4-CH₂C₆H₄CO₂Me), which inhibited binding of [3H]-oxytocin to its receptor with IC₅₀ of 0.972 μ mol/L.

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

14.71

171.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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NEWS 8 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9 NOV 24 MSDS-CCOHS file reloaded
NEWS 10 DEC 08 CABA reloaded with left truncation
NEWS 11 DEC 08 IMS file names changed
NEWS 12 DEC 09 Experimental property data collected by CAS now available
in REGISTRY
NEWS 13 DEC 09 STN Entry Date available for display in REGISTRY and CA/CAPLUS
NEWS 14 DEC 17 DGENE: Two new display fields added
NEWS 15 DEC 18 BIOTECHNO no longer updated
NEWS 16 DEC 19 CROPU no longer updated; subscriber discount no longer
available
NEWS 17 DEC 22 Additional INPI reactions and pre-1907 documents added to CAS
databases
NEWS 18 DEC 22 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19 DEC 22 ABI-INFORM now available on STN
NEWS 20 JAN 27 Source of Registration (SR) information in REGISTRY updated
and searchable
NEWS 21 JAN 27 A new search aid, the Company Name Thesaurus, available in
CA/CAPLUS
NEWS 22 FEB 05 German (DE) application and patent publication number format
changes
NEWS 23 MAR 03 MEDLINE and LMEADLINE reloaded
NEWS 24 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 25 MAR 03 FRANCEPAT now available on STN

NEWS EXPRESS MARCH 5 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:40:14 ON 25 MAR 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:40:38 ON 25 MAR 2004

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

DICTIONARY FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

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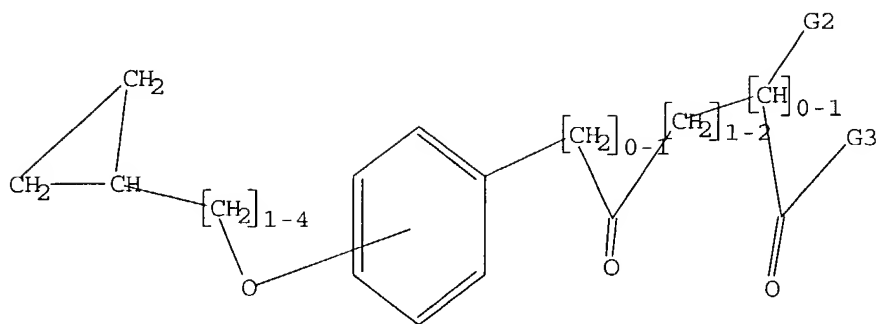
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1

G2 N, NH, NH2

G3 OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO, NH, NH2

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 14:41:27 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 13.3% PROCESSED 400000 ITERATIONS

4 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.12

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **INCOMPLETE**

PROJECTED ITERATIONS: EXCEEDS 1000000

PROJECTED ANSWERS: EXCEEDS 13

L2 4 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.84

156.05

FILE 'MARPAT' ENTERED AT 14:41:51 ON 25 MAR 2004

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 12) (20040319/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES

(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6696581 24 FEB 2004

DE 10317487 19 FEB 2004

EP 1389746 18 FEB 2004

JP 2004059557 26 FEB 2004

WO 2004015164 19 FEB 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

FULL SEARCH INITIATED 14:41:57 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 37823 TO ITERATE

21.7% PROCESSED	8205 ITERATIONS	(1 INCOMPLETE)	2 ANSWERS
42.6% PROCESSED	16127 ITERATIONS	(2 INCOMPLETE)	3 ANSWERS
66.1% PROCESSED	25000 ITERATIONS	(3 INCOMPLETE)	4 ANSWERS
90.0% PROCESSED	34023 ITERATIONS	(3 INCOMPLETE)	5 ANSWERS
97.0% PROCESSED	36672 ITERATIONS	(3 INCOMPLETE)	5 ANSWERS
98.9% PROCESSED	37411 ITERATIONS	(3 INCOMPLETE)	5 ANSWERS
100.0% PROCESSED	37823 ITERATIONS	(3 INCOMPLETE)	5 ANSWERS

SEARCH TIME: 00.01.57

L3 5 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

110.68

266.73

FILE 'CAPLUS' ENTERED AT 14:44:19 ON 25 MAR 2004

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FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13

FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L4 1 L2

=> s l3

L5 5 L3

=> d 14 fbib hitstr abs total

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964135 CAPLUS
DN 138:24543
TI Preparation of benzyloxyphenyloxobutyrate and related compounds for the
treatment of metabolic disorders
IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.
PA Wellstat Therapeutics Corporation, USA
SO PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100341	A2	20021219	WO 2002-US18388	20020612
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2003149107	A1	20030807	US 2001-297282PP	20010612
				US 2002-167839	20020612
				US 2001-297282PP	20010612

OS MARPAT 138:24543

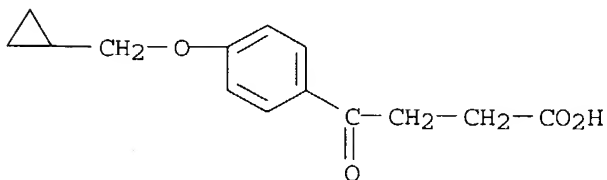
IT **478162-67-3P 478162-77-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyloxyphenyloxobutyrate and related compds. for treatment of metabolic disorders)

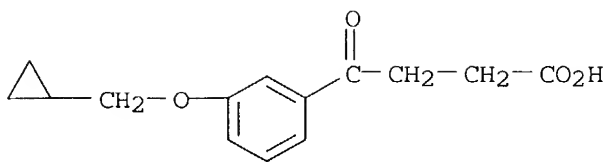
RN 478162-67-3 CAPLUS

CN Benzenebutanoic acid, 4-(cyclopropylmethoxy)- γ -oxo- (9CI) (CA INDEX NAME)



RN 478162-77-5 CAPLUS

CN Benzenebutanoic acid, 3-(cyclopropylmethoxy)- γ -oxo- (9CI) (CA INDEX NAME)



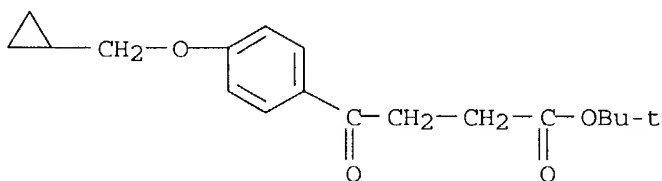
IT 478163-21-2P 478163-33-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzyloxyphenyloxobutyrate and related compds. for treatment of metabolic disorders)

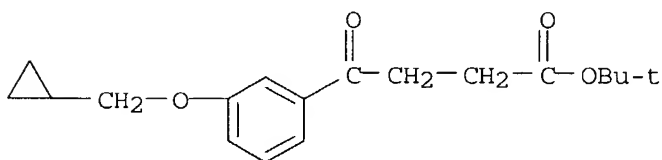
RN 478163-21-2 CAPLUS

CN Benzenebutanoic acid, 4-(cyclopropylmethoxy)-γ-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

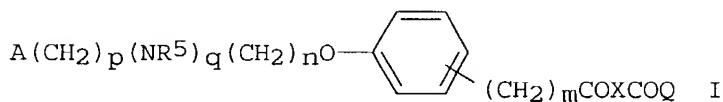


RN 478163-33-6 CAPLUS

CN Benzenebutanoic acid, 3-(cyclopropylmethoxy)-γ-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu

bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF₃CO₂H in CH₂Cl₂ to give 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

=> d his

(FILE 'HOME' ENTERED AT 14:40:14 ON 25 MAR 2004)

FILE 'REGISTRY' ENTERED AT 14:40:38 ON 25 MAR 2004

L1 STRUCTURE UPLOADED

L2 4 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 14:41:51 ON 25 MAR 2004

L3 5 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:44:19 ON 25 MAR 2004

L4 1 S L2

L5 5 S L3

=> d 15 fbib hitstr abs total

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:964135 CAPLUS

DN **138:24543**

TI Preparation of benzyloxyphenyloxobutyrate and related compounds for the treatment of metabolic disorders

IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.

PA Wellstat Therapeutics Corporation, USA

SO PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DT Patent

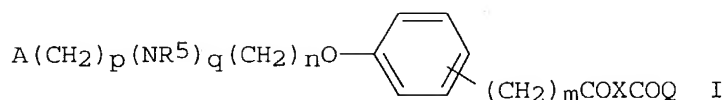
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100341	A2	20021219	WO 2002-US18388	20020612
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2001-297282PP	20010612
	US 2003149107	A1	20030807	US 2002-167839	20020612
				US 2001-297282PP	20010612

OS MARPAT 138:24543

GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R⁵ = alkyl; R⁹ = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH₂, Q = OR₁, R₁ = Et; or X = CH₂CR₁₂R₁₃, CH₂CH(NHAc), Q = OR₁, R₁ = H, alkyl; or X = CH₂CH₂, Q = NR₁₀R₁₁; R₁₂, R₁₃ = H, Me; 1 of R₁₀, R₁₁ = H, alkyl, OH, the other = H, alkyl], were prepared. Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF₃CO₂H in CH₂Cl₂ to give 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:380538 CAPLUS

DN 134:366686

TI Preparation of 4-benzyloxyphenylalkanoic acids and analogs as thyroid receptor antagonists for the treatment of cardiac and metabolic disorders

IN Malm, Johan; Litten, Chris; Apelqvist, Theresa; Hedfors, Asa; Brandt, Peter; Edvinsson, Karin; Gordon, Sandra

PA Karo Bio AB, Swed.

SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

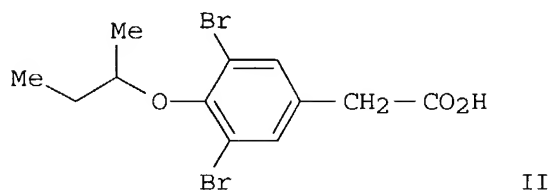
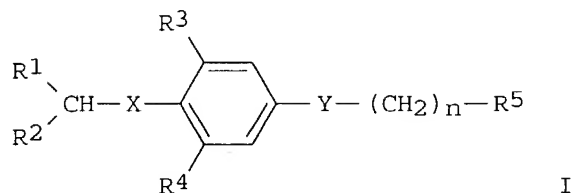
LA English

FAN.CNT 1

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	WO 2001036365	A3	20021107		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	GB 1999-27056 A 19991117				

OS MARPAT 134:366686

GI



AB The title compds. (I) [wherein R1 = (un)substituted (hetero)aryl, (cyclo)alkyl, alkenyl, or alkynyl; R2 = H, alkyl, alkenyl, alkynyl, alkoxy, or bioisosteric equivalent; or R1 and R2 may form an (un)substituted cycloalkyl ring; X = O, S, S(O), SO₂, Se, Te, NR_c, or S-S; R3 and R4 = independently halo, (cyclo)alkyl, alkenyl, alkynyl, alkoxy, CF₃, OCF₃, OCF₂H, SMe, SCF₃, CO₂H, or bioisosteric equivalent; n = 0-3; Y = CO, O, S, CHR_b, or NR_c; R_b = H, halo, CF₃, alkyl, alkenyl, alkynyl, alkoxy, (CH₂)₀-4OH, or bioisosteric equivalent; R_c = H, alkyl, alkenyl, alkynyl, or bioisosteric equivalent] were prepared as thyroid receptor ligands, preferably antagonists, for treatment of cardiac arrhythmias, thyrotoxicosis, and subclin. hyperthyroidism. For example, 2-Bu bromide was added to 3,5-dibromo-4-hydroxybenzeneacetic acid using TEA in acetone to give II (89%). I exhibited binding affinities to the thyroid hormone receptor α (ThRa) in the range of 100 nM to 10,000 nM.

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:509397 CAPLUS

DN 121:109397

TI Preparation of ester derivatives of 4-azasteroids as steroid 5α-reductase inhibitors.

IN Witzel, Bruce E.; Rasmussen, Gary H.; Tolman, Richard L.; Yang, Shu Shu

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9323041	A1	19931125	WO 1993-US4771	19930519
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				US 1992-886022 A219920520	
	AU 9342525	A1	19931213	AU 1993-42525	19930519
	AU 668181	B2	19960426		
				US 1992-886022 A	19920520

EP 649306	A1	19950426	WO 1993-US4771 A	19930519
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			US 1992-886022 A	19920520
JP 07508039	T2	19950907	WO 1993-US4771 W	19930519
			JP 1993-503838	19930519
			US 1992-886022 A	19920520
AT 198601	E	20010115	WO 1993-US4771 W	19930519
			AT 1993-911362	19930519
			US 1992-886022 A	19920520
US 5610162	A	19970311	WO 1993-US4771 W	19930519
			US 1994-338573	19941117
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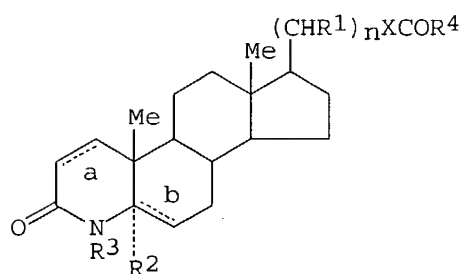
PATENT FAMILY INFORMATION:

FAN 1997:204394

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 5610162	A	19970311	US 1994-338573	19941117
			US 1992-886022 B2	19920520
			WO 1993-US4771 W	19930519
WO 9323041	A1	19931125	WO 1993-US4771	19930519
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
			US 1992-886022 A2	19920520

OS MARPAT 121:109397

GI



AB Title compds. [I; a, b = single bonds, R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, alkyl, aralkyl; R3 = H, Me, Et, OH, NH2, SMe; n = 0-10; X = O, S; R4 = (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, amino, OH, etc.] were prepared as inhibitors of 5 α -reductase and isoenzymes thereof. The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp (no data). Thus, 20-hydroxy-4-methyl-5 α -4-azapregnan-3-one, 11-ethylthioundecanoic acid, DMAP, and DCC were stirred in CH₂Cl₂ at room temperature to give 20-[11-(ethylthio)undecanoyloxy]-4-methyl-5 α -4-azapregnan-3-one.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:245602 CAPLUS

DN 120:245602

TI Preparation of 17-ethers and thioethers of 4-aza-steroids as steroid reductase inhibitors

IN Witzel, Bruce E.; Tolman, Richard L.; Rasmusson, Gary H.; Bakshi, Raman K.; Yang, Shu Shu

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

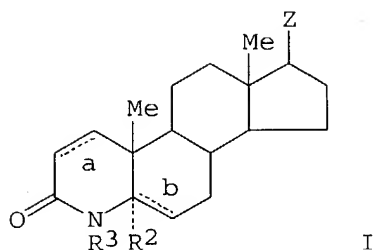
FAN.CNT 2

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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9342521	A1	19931213	US 1992-886031 A219920520	
	AU 668180	B2	19960426	AU 1993-42521	19930519
				US 1992-886031 A	19920520
				WO 1993-US4746 A	19930519
	EP 641204	A1	19950308	EP 1993-911358	19930519
	EP 641204	B1	20000816		
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				WO 1993-US4746 W	19930519
	JP 07508038	T2	19950907	JP 1993-503831	19930519
				US 1992-886031 A	19920520
				WO 1993-US4746 W	19930519
	AT 195530	E	20000915	AT 1993-911358	19930519
				US 1992-886031 A	19920520
				WO 1993-US4746 W	19930519
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	US 5536727	A	19960716	US 1994-338572	19941117
				US 1992-886031 B219920520	
				WO 1993-US4746 W	19930519

PATENT FAMILY INFORMATION:

FAN 1996:469929

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5536727	A	19960716	US 1994-338572	19941117
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1992-886031 A219920520	
OS	MARPAT 120:245602				
GI					



AB Title compds. [I; a, b both = single bonds, and R2 = H; or a = double bond, b = single bond, and R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, (aryl)alkyl; R3 = H, Me, Et, OH, NH2, SMe; R4 = (substituted) alkyl, aryl, heterocyclyl; Z = XR4, (CHR1)nXR4; X = O, S, SO, SO2], were prepared as inhibitors of steroid 5 α -reductase enzymes 1 and 2 (no data). The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp. Thus, 17-hydroxymethyl-4-methyl-5 α -4-azaandrostan-3-one and diphenyldiazomethane in CH2Cl2 were treated dropwise with BF3.Et2O to give 17-diphenylmethoxymethyl-4-methyl-5 α -4-azaandrostan-3-one.

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:13416 CAPLUS

DN **116:13416**

TI Pressure- and heat-sensitive recording materials with good sensitivity, storability and image stability

IN Sano, Masajiro; Takashima, Masanobu; Satomura, Masato

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03142277	A2	19910618	JP 1989-282319	19891030
				JP 1989-282319	19891030

OS MARPAT 116:13416

AB The title materials utilizes coloration by contact between electron-donating leuco dye Ar1R1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-containing aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-containing alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without containing heteroatom) and electron-accepting compound

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
30.94	297.67

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-4.16	-4.16

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STN INTERNATIONAL LOGOFF AT 14:45:44 ON 25 MAR 2004

